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NEWS 3 DEC 23 New IPC8 SEARCH, DISPLAY, and SELECT fields in USPATFULL/
USPAT2
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NEWS 11 FEB 22 Updates in EPFULL; IPC 8 enhancements added
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NEWS 14 FEB 28 TOXCENTER reloaded with enhancements
NEWS 15 FEB 28 REGISTRY/ZREGISTRY enhanced with more experimental spectral
property data
NEWS 16 MAR 01 INSPEC reloaded and enhanced
NEWS 17 MAR 03 Updates in PATDPA; addition of IPC 8 data without attributes
NEWS 18 MAR 08 X.25 communication option no longer available after June 2006
NEWS 19 MAR 22 EMBASE is now updated on a daily basis
NEWS 20 APR 03 New IPC 8 fields and IPC thesaurus added to PATDPAFULL
NEWS 21 APR 03 Bibliographic data updates resume; new IPC 8 fields and IPC
thesaurus added in PCTFULL
NEWS 22 APR 04 STN AnaVist \$500 visualization usage credit offered
NEWS 23 APR 12 LINSPEC, learning database for INSPEC, reloaded and enhanced
NEWS 24 APR 12 Improved structure highlighting in FQHIT and QHIT display
in MARPAT
NEWS 25 APR 12 Derwent World Patents Index to be reloaded and enhanced during
second quarter; strategies may be affected
NEWS 26 MAY 10 CA/CAPLUS enhanced with 1900-1906 U.S. patent records

NEWS EXPRESS FEBRUARY 15 CURRENT VERSION FOR WINDOWS IS V8.01a,
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<http://download.cas.org/express/v8.0-Discover/>

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=> file ca

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SINCE FILE

TOTAL

ENTRY

SESSION

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0.21

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FILE LAST UPDATED: 4 May 2006 (20060504/ED)

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=> amplodine

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=> s amplodine

L1 0 AMPLODINE

=> s amlodipine

L2 2041 AMLODIPINE

=> s crystal? and l2

1675494 CRYSTAL?

L3 40 CRYSTAL? AND L2

=> d ti 1-10

L3 ANSWER 1 OF 40 CA COPYRIGHT 2006 ACS on STN

TI Process for the preparation of pure **amlodipine**

L3 ANSWER 2 OF 40 CA COPYRIGHT 2006 ACS on STN

TI Combinations comprising (S)-**amlodipine** and a cholesteryl ester transfer protein inhibitor, and methods for reducing hypertension

L3 ANSWER 3 OF 40 CA COPYRIGHT 2006 ACS on STN

TI Saccharin Salts of Active Pharmaceutical Ingredients, Their **Crystal** Structures, and Increased Water Solubilities

L3 ANSWER 4 OF 40 CA COPYRIGHT 2006 ACS on STN

TI Combinations comprising (S)-**amlodipine** and an HMG-CoA reductase inhibitor and/or cholesterol absorption inhibitor for reducing hypertension

L3 ANSWER 5 OF 40 CA COPYRIGHT 2006 ACS on STN

TI Preparation of (S)-**amlodipine** malate for pharmaceuticals

L3 ANSWER 6 OF 40 CA COPYRIGHT 2006 ACS on STN

TI Combination of (S)-**amlodipine** and an ACE inhibitor for reducing hypertension

L3 ANSWER 7 OF 40 CA COPYRIGHT 2006 ACS on STN

TI Multiparticulate **crystalline** drug compositions containing a Poloxamer and a glyceride

L3 ANSWER 8 OF 40 CA COPYRIGHT 2006 ACS on STN

TI Phthaloyl **amlodipine**

L3 ANSWER 9 OF 40 CA COPYRIGHT 2006 ACS on STN

TI Process for preparing **amlodipine** mesylate monohydrate

L3 ANSWER 10 OF 40 CA COPYRIGHT 2006 ACS on STN

TI Process for preparing stable amorphous **amlodipine** camsylate and pharmaceutical dosage formulations for its oral administration

=> d ab

L3 ANSWER 1 OF 40 CA COPYRIGHT 2006 ACS on STN

AB The invention relates to an improved process for the preparation of pure **amlodipine** (I) via the effective purification of phthalimidoamlodipine (II). Cyclocondensation of 2-chlorobenzaldehyde, Me 3-aminocrotonate, and Et 4-[2-(phthalimido)ethoxylacetoacetate gave compound II, in 32% yield. II is dissolved in a halogenated hydrocarbon, such as dichloromethane, and insol. impurities removed by filtration. Gradual addition of an aliphatic hydrocarbon, such as n-hexane, results in the crystallization of II in 87% yield.

The phthaloyl group is removed with methylamine in ethanol, and pure **amlodipine** free base is isolated, in 87% yield, by precipitation from the reaction mixture by quenching with hot water. The volume of the halogenated

solvent is about 1 volume to 6 vols. with respect to II and the volume of the aliphatic solvent is 1 volume to 12 vols. with respect to II. The hot water temperature is between 45 °C and 65 °C. The process gives **amlodipine** with high purity without the use of extensive work-up procedures.

=> d

L3 ANSWER 1 OF 40 CA COPYRIGHT 2006 ACS on STN
 AN 144:128856 CA
 TI Process for the preparation of pure **amlodipine**
 IN Chava, Satyanarayana; Ramanjaneyulu, Gorantla Seeta; Rao, Konudula Babu
 PA Matrix Laboratories Ltd, India
 SO PCT Int. Appl., 12 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006003672	A1	20060112	WO 2004-IN195	20040702
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRAI WO 2004-IN195 20040702
 RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ti 11-20

L3 ANSWER 11 OF 40 CA COPYRIGHT 2006 ACS on STN
 TI A process for the preparation of **amlodipine** and its salts

L3 ANSWER 12 OF 40 CA COPYRIGHT 2006 ACS on STN
 TI Method of preparation of **amlodipine** benzenesulfonate

L3 ANSWER 13 OF 40 CA COPYRIGHT 2006 ACS on STN
 TI Preparation of **crystalline amlodipine** maleate

L3 ANSWER 14 OF 40 CA COPYRIGHT 2006 ACS on STN
 TI A process for the preparation of (S)-(-)-**amlodipine** nicotinate and its hydrates as antihypertensive agents with improved activity and photostability

L3 ANSWER 15 OF 40 CA COPYRIGHT 2006 ACS on STN
 TI **Crystalline** adipic acid salt of **amlodipine**

L3 ANSWER 16 OF 40 CA COPYRIGHT 2006 ACS on STN
 TI Two **crystalline** hydrate forms of **amlodipine** benzenesulfonate of high purity, processes for their preparation and use

L3 ANSWER 17 OF 40 CA COPYRIGHT 2006 ACS on STN
 TI Epoxy-steroidal aldosterone antagonist and calcium channel blocker combination therapy for treatment of cardiovascular disorders

L3 ANSWER 18 OF 40 CA COPYRIGHT 2006 ACS on STN
TI Process for the preparation of [S(-)amlodipine
-L(+)-hemitartrate]

L3 ANSWER 19 OF 40 CA COPYRIGHT 2006 ACS on STN
TI Solid oral dosage forms containing amlodipine free base

L3 ANSWER 20 OF 40 CA COPYRIGHT 2006 ACS on STN
TI Methods for the treatment or prophylaxis of aldosterone-mediated
pathogenic effects in a subject using an epoxy-steroidal aldosterone
antagonist

=> d ab 11

L3 ANSWER 11 OF 40 CA COPYRIGHT 2006 ACS on STN
AB The title compound (I) is isolated in pure form by using a crystallization
process
and converted to its pharmaceutically acceptable salts. The crystallization
process affects stability and purity of the amlodipine salts.
All known impurities and one unknown impurity, which forms during the
synthesis of the amlodipine salts, were isolated, characterized,
and synthesized. A new method allowing the quant. HPLC anal. of all
related impurities of amlodipine salts in a single chromatogram
was developed.

=> d 11

L3 ANSWER 11 OF 40 CA COPYRIGHT 2006 ACS on STN
AN 141:123565 CA
TI A process for the preparation of amlodipine and its salts
IN Aslan, Tuncer; Adiyaman, Mustafa; Yurdakul, Aycil; Sahpaz, Filiz;
Ozarslan, Evren A.; Guner, Didem; Ridvanoglu, Nurten
PA Eos Eczacibasi Ozgun Kimyasal Urunler Sanayi Ve Ticaret A.S., Turk.
SO PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004058711	A1	20040715	WO 2002-TR78	20021230
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				
	CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				
	GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,				
	LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,				
	PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TT, TZ, UA, UG,				
	US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,				
	KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,				
	FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ,				
	CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2002368531	A1	20040722	AU 2002-368531	20021230
PRAI	WO 2002-TR78	A	20021230		
OS	CASREACT 141:123565				

=> d 19

L3 ANSWER 19 OF 40 CA COPYRIGHT 2006 ACS on STN
AN 139:185682 CA
TI Solid oral dosage forms containing amlodipine free base

IN Peters, Theodorus Hendricus Antonius; Benneker, Franciscus Bernardus
 Gemma; Lemmens, Jacobus Maria; Keltjens, Rolf
 PA Synthon Licensing, Ltd., Luxembourg
 SO Brit. UK Pat. Appl., 35 pp.
 CODEN: BAXXDU
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 2385268	A1	20030820	GB 2002-2536	20020204
	SI 21121	C	20030831	SI 2002-28	20020205
	ZA 2002001080	A	20020913	ZA 2002-1080	20020207
	BE 1014922	A3	20040601	BE 2002-140	20020301
PRAI	GB 2002-2536	A	20020204		

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ab 19

L3 ANSWER 19 OF 40 CA COPYRIGHT 2006 ACS on STN
 AB **Amlodipine** free base can be formulated into a convenient oral dosage form, especially a tablet, without excessive stickiness or tablet punch residue. The **amlodipine** free base can be crystalline Form I or a novel Form II. Methods of making and using the **amlodipine** free base are disclosed. Thus, **amlodipine** besylate was dissolved in 2-ProH and treated with 1M NaOH solution to give the free base. Tablets contained **amlodipine** 2.5, calcium hydrogen phosphate 315, microcryst. cellulose 62.05, sodium starch glycolate 2.0, and Mg stearate 1.0 mg.

=> d ti 21-30

L3 ANSWER 21 OF 40 CA COPYRIGHT 2006 ACS on STN
 TI Pharmaceutical compositions containing AT1-receptor antagonists

L3 ANSWER 22 OF 40 CA COPYRIGHT 2006 ACS on STN
 TI Physico-chemical Characterization of Hydrated and Anhydrous Crystal Forms of **Amlodipine** Besylate

L3 ANSWER 23 OF 40 CA COPYRIGHT 2006 ACS on STN
 TI A **crystalline** form of the free base of **amlodipine**

L3 ANSWER 24 OF 40 CA COPYRIGHT 2006 ACS on STN
 TI Porous drug matrices and methods of manufacture thereof

L3 ANSWER 25 OF 40 CA COPYRIGHT 2006 ACS on STN
 TI Preparation of **amlodipine** hemimaleate

L3 ANSWER 26 OF 40 CA COPYRIGHT 2006 ACS on STN
 TI Preparation of free **amlodipine** base and its usage for tablets

L3 ANSWER 27 OF 40 CA COPYRIGHT 2006 ACS on STN
 TI **Amlodipine** mesylate salts and their preparation

L3 ANSWER 28 OF 40 CA COPYRIGHT 2006 ACS on STN
 TI Preparation of **amlodipine** hemimaleate

L3 ANSWER 29 OF 40 CA COPYRIGHT 2006 ACS on STN
 TI Process for making **amlodipine** maleate

L3 ANSWER 30 OF 40 CA COPYRIGHT 2006 ACS on STN

TI Preparation of **amlodipine** hemifumarate and usage in pharmaceutical formulations

=> d.23

L3 ANSWER 23 OF 40 CA COPYRIGHT 2006 ACS on STN
AN 138:193310 CA
TI A **crystalline** form of the free base of **amlodipine**
IN Bentham, Alan Craig; Pettman, Alan John; Ruddock, Keith Stephen
PA Pfizer Limited, UK; Pfizer Inc.
SO Eur. Pat. Appl., 11 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1287826	A1	20030305	EP 2002-255716	20020815
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	JP 2003128653	A2	20030508	JP 2002-235998	20020813
	US 2003119883	A1	20030626	US 2002-224663	20020820
	US 6680334	B2	20040120		
	CA 2399567	AA	20030228	CA 2002-2399567	20020823
	BR 2002003412	A	20030527	BR 2002-3412	20020828
PRAI	GB 2001-20808	A	20010828		
	US 2001-327155P	P	20011003		

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ab 23

L3 ANSWER 23 OF 40 CA COPYRIGHT 2006 ACS on STN
AB The present invention relates to **amlodipine** free base in a crystalline form, to pharmaceutical formulations comprising such material, processes of manufacture and its use in therapy. Thus, **amlodipine** besylate was dissolved in CH₂Cl₂/water (1:1) and the emulsion was made alkaline to pH 11 with 5M aqueous NaOH to give the free base. The free base was crystallized from toluene and a tablet formulation was prepared by using 1.00 g **amlodipine**.

=> d 26

L3 ANSWER 26 OF 40 CA COPYRIGHT 2006 ACS on STN
AN 137:159339 CA
TI Preparation of free **amlodipine** base and its usage for tablets
PA Bioorganics B.V., Neth.
SO Ger. Gebrauchsmusterschrift, 41 pp.
CODEN: GGXXFR
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 20201878	U1	20020814	DE 2002-20201878	20020207
PRAI	DE 2002-20201878		20020207		

=> d ab 26

L3 ANSWER 26 OF 40 CA COPYRIGHT 2006 ACS on STN
AB The invention concerns the preparation of **amlodipine** from phthalodipine using aqueous methylamine, recrystn. of the product to **crystal** forms I or II, and pressing the drug substance into tablets with calcium phosphate and microcryst. cellulose. The compns. do not stick to the temp during tablet pressing. Thus 250 mL 40% methylamine and 31.5 g phthalodipine were mixed at 40-45 °C for 16 h; 460 mL toluene were added for extraction; the organic phase was evaporated until dryness,
21.6 g **amlodipine** were obtained. **Amlodipine** was recrystd. in ethanol and used for tableting for a composition (mg): **amlodipine** 2.5; calcium hydrogen phosphate, hydrate-free 31.5; microcryst. cellulose 62.05; sodium starch glycolate 2.0; magnesium stearate 1.0.

=> d ti 31-40

L3 ANSWER 31 OF 40 CA COPYRIGHT 2006 ACS on STN
TI Synergistic effect of **amlodipine** and atorvastatin

L3 ANSWER 32 OF 40 CA COPYRIGHT 2006 ACS on STN
TI Epoxy-steroidal aldosterone antagonist and calcium channel blocker combination therapy for treatment of congestive heart failure and other cardiovascular disorders

L3 ANSWER 33 OF 40 CA COPYRIGHT 2006 ACS on STN
TI Preparation of **amlodipine** hemimaleate and usage in pharmaceutical formulations to treat angina and high blood pressure

L3 ANSWER 34 OF 40 CA COPYRIGHT 2006 ACS on STN
TI Methods of determining individual hypersensitivity to a pharmaceutical agent from gene expression profile

L3 ANSWER 35 OF 40 CA COPYRIGHT 2006 ACS on STN
TI Chromatographic investigation on the binding site characteristics of quail egg-white riboflavin binding protein as a chiral stationary phase

L3 ANSWER 36 OF 40 CA COPYRIGHT 2006 ACS on STN
TI Preparation of **amlodipine** benzenesulfonate for pharmaceuticals

L3 ANSWER 37 OF 40 CA COPYRIGHT 2006 ACS on STN
TI Determination of the absolute configuration of the active **amlodipine** enantiomer as (-)-S: a correction

L3 ANSWER 38 OF 40 CA COPYRIGHT 2006 ACS on STN
TI Dihydropyrimidine calcium channel blockers. 4. Basic 3-substituted-4-aryl-1,4-dihydropyrimidine-5-carboxylic acid esters. Potent antihypertensive agents

L3 ANSWER 39 OF 40 CA COPYRIGHT 2006 ACS on STN
TI Comparison of location and binding for the positively charged 1,4-dihydropyridine calcium channel antagonist **amlodipine** with uncharged drugs of this class in cardiac membranes

L3 ANSWER 40 OF 40 CA COPYRIGHT 2006 ACS on STN
TI Long-acting dihydropyridine calcium antagonists. 1. 2-Alkoxymethyl derivatives incorporating basic substituents

=> d 37

L3 ANSWER 37 OF 40 CA COPYRIGHT 2006 ACS on STN
AN 117:171154 CA

TI Determination of the absolute configuration of the active
amlodipine enantiomer as (-)-S: a correction
AU Goldmann, Siegfried; Stoltefuss, Juergen; Born, Liborius
CS Pharma Res. Cent., Bayer AG, Wuppertal, 5600/1, Germany
SO Journal of Medicinal Chemistry (1992), 35(18), 3341-4
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English

=> d ab 37

L3 ANSWER 37 OF 40 CA COPYRIGHT 2006 ACS on STN
AB The active (-) enantiomer of **amlodipine** (I) was originally
reported to have the R configuration. This does not concur with other
1,4-dihydropyridines with known absolute configuration. This configuration
has now been determined by x-ray structural anal. using (1S)-camphanic acid and
(S)-2-methoxy-2-phenylethanol as chiral probes. Both detns. gave the S
configuration for the **amlodipine** (-) enantiomer with the greater
Ca-antagonistic activity.